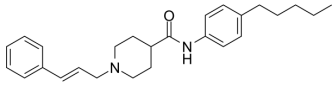
Keap1-Nrf2-IN-4

Cat. No.:	HY-144099
CAS No.:	2851480-01-6
Molecular Formula:	$C_{26}H_{34}N_2O$
Molecular Weight:	390.56
Target:	E1/E2/E3 Enzyme; Apoptosis
Pathway:	Metabolic Enzyme/Protease; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Keap1-Nrf2-IN-4 is a potent neddylation inhibitor. Keap1-Nrf2-IN-4 exhibits potent anti-proliferation activity against MGC-803 cells (IC_{50}=2.55 μM). Keap1-Nrf2-IN-4 blocks the migration ability and induces apoptosis of gastric cancer cells. Keap1-Nrf2-IN-4 inhibits tumor growth without obvious toxicity[1].

In Vitro

Keap1-Nrf2-IN-4 (compound 4g) (72 h) shows anti-proliferation activity (IC_{50} s of 2.55, 3.88, 3.74, 2.89 μM in MGC-803, MCF-7, A549, HepG-2 cells, respectively)[1].
Keap1-Nrf2-IN-4 inhibits neddylation of cullin1, cullin3, cullin5[1].
Keap1-Nrf2-IN-4 blocks the migration ability of MGC-803 without cell cycle arrest[1].
Keap1-Nrf2-IN-4 (24, 48 h) induces apoptosis of MGC-803 and HGC-27 cells in concentration- and time-dependent manners[1].
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MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	MGC-803, MCF-7, A549, HepG-2 cells
Concentration:	
Incubation Time:	72 h
Result:	Showed anti-proliferation activity (IC_{50} of 2.55, 3.88, 3.74, 2.89 μM in MGC-803, MCF-7, A549, HepG-2 cells, respectively).

Apoptosis Analysis[1]

Cell Line:	MGC-803, HGC-27 cells
Concentration:	2.5, 5, 7.5 μM for MGC-803 cells; 3, 6, 9 μM for HGC-27 cells
Incubation Time:	24 h, 48 h
Result:	Induced apoptosis of MGC-803 and HGC-27 cells in concentration- and time-dependent manners.

In Vivo

Keap1-Nrf2-IN-4 (50, 100 mg/kg; i.g.; per day for 21 days) exhibits antitumor activity on xenograft model without obvious side effect[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	5-6 weeks, 18-20 g, NOD SCID mice (xenograft tumor model)[1]
Dosage:	50, 100 mg/kg
Administration:	i.g.; per day, 21 days
Result:	Exihibited good antitumor activity on xenograft model without obvious side effect.

REFERENCES

[1]. Wang B, et al. Discovery of a cinnamyl piperidine derivative as new neddylation inhibitor for gastric cancer treatment. Eur J Med Chem. 2021; 226:113896.

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